

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
24 February 2005 (24.02.2005)

PCT

(10) International Publication Number
WO 2005/016866 A2

(51) International Patent Classification⁷: **C07C 227/00**

PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(21) International Application Number:
PCT/JP2004/012156

(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,
FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG).

(22) International Filing Date: 18 August 2004 (18.08.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
2003-295599 19 August 2003 (19.08.2003) JP

Declarations under Rule 4.17:

- as to applicant's entitlement to apply for and be granted
a patent (Rule 4.17(ii)) for the following designations AE,
AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,
CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE,
EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM,
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM,
ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA,
SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE,
BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent
(BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG)
- of inventorship (Rule 4.17(iv)) for US only

(71) Applicant (for all designated States except US):
TAKASAGO INTERNATIONAL CORPORATION
[JP/JP]; 37-1, Kamata 5-chome, Ohta-ku, Tokyo 1448721
(JP).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **SODEOKA, Mikiko**
[JP/JP]; 7-5-1002, Itsutsubashi 2-chome, Aoba-ku,
Sendai-shi, Miyagi 9800022 (JP). **HAMASHIMA,**
Yoshitaka [JP/JP]; 16-28-207, Otamayashita, Aoba-ku,
Sendai-shi, Miyagi 9800814 (JP).

(74) Agent: **IWATANI, Ryo**; Sakurabashi Chiyoda Bldg.
5F, 1-27, Dojima 2-chome, Kita-ku, Osaka-shi, Osaka
5300003 (JP).

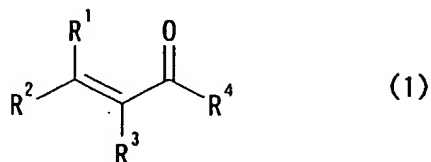
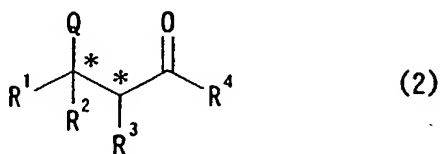
Published:

- without international search report and to be republished
upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG,
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG,
MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH,

(54) Title: PROCESS FOR PRODUCING OPTICALLY ACTIVE BETA-AMINO ACID DERIVATIVES



(57) Abstract: The present invention is to provide a method for pro-
ducing the desired optically active β -amino acid derivatives of high
optical purities in high yields, without requiring a step of deprotec-
tion. More particularly, the present invention relates to a method
for producing an optically active β -amino acid derivative or a salt
thereof represented by the formula (2): which comprises reacting
an α,β -unsaturated carboxylic acid derivative or a salt thereof rep-
resented by the formula (1): with an amines or a salt thereof in the
presence of a chiral catalyst and in the presence or absence of an
acid.